## WHAT IS CLAIMED IS:

# 1. A compound of the formula: [Formula 1]

$$R^{1}$$
 $R^{4}$ 
 $CONR^{2}R^{3}$ 
 $(I)$ 

# (wherein:

R<sup>1</sup> is optionally substituted aralkyl;

R<sup>2</sup> and R<sup>3</sup> are each independently hydrogen, optionally substituted alkyl, optionally substituted amino, optionally substituted alkenyl or optionally substituted alkoxy (provided that each substituent for "optionally substituted" is a noncyclic group);

R<sup>4</sup> is hydrogen, optionally substituted carboxy, optionally substituted formylamino, optionally substituted carbamoyl, optionally substituted amino (provided that a substituent on amino in "optionally substituted formylamino", "optionally substituted carbamoyl" and "optionally substituted maino" may form an optionally-substituted N-atom containing heterocyclic ring together with an adjacent N atom), optionally substituted alkyl, optionally substituted alkenyl, optionally substituted aryl, or optionally substituted heteroaryl), a pharmaceutically acceptable salt or a solvate thereof (except for Compound (I-A) shown in Table 1 below).

#### [Table 1]

## [Formula I-A]

Compound	R <sup>2</sup>	R <sup>3</sup>	R <sup>4</sup>
No.			
20	H	CH2CH2OMe	Н
27	Н	Me	NHMs
28	Н	CH2CH2OMe	NHMs
29	Н	i-Pr	NHMs
85	Me	Me	Н
86	H	NHMe	. Н
87	H	NMe2	Н
88	Н	OMe	Н
89	Н	Н	Н
90	Н	Me	H
91	Н	Et	Н
92	Н	i-Pr	Н
126	Н	CH2CH2NMe2	Н
160	Н	CH2CH2OMe	NHCOCH20Me
161	H	CH2CH2OMe	NHCOCH2CH2CO2Et
162	Н	CH2CH2OMe	NHCOCH2CO2Et
163	H	CH2CH2OMe	NHCOOEt
164	Н	CH2CH2OMe	NHCOCH2CH2OMe
165	Н	CH2CH2OMe	NHCO-thiophene
180	Н	CH2CH2OMe	Ph-CH2OH
181	Н	NMe2	Ph-CH2OH

(Me=methyl; i-Pr=isopropyl; Et=ethyl; Ms=methanesulfonyl;
thiophene=thiophene; Ph=phenyl)

- 2. The compound according to claim 1, wherein R<sup>1</sup> is p-fluorobenzyl, a pharmaceutically acceptable salt or a solvate thereof.
- 3. The compound according to claim 1, wherein R<sup>2</sup> is hydrogen; R<sup>3</sup> is optionally substituted alkyl (substituent: lower alkoxy, amino, cyano, hydroxy, carboxy optionally substituted with lower alkyl, or lower alkoxycarbonyl), or optionally substituted amino (substituent: lower alkyl), a pharmaceutically acceptable salt or a solvate thereof.
- 4. The compound according to claim 1, wherein  $R^2$  is hydrogen;  $R^3$  is  $CH_2CH_2OCH_3$ ,  $CH_2CH_2OEt$ ,  $CH_2CH_2COOCH_3$ ,  $CH_2CH_2CH_2OCH_3$ ,  $CH_2CH_2CH_2O(i-Pr)$ ,  $N(CH_3)_2$ ,  $CH_2CH_2CN$ ,  $CH_2CH_2N(CH_3)_2$ ,  $CH_2CH_2N(i-Pr)_2$ ,  $CH_2CH_2CH_2N(CH_3)_2$ ,  $CH_2CH_2CH_2N(Et)_2$ ,  $CH(CH_3)CH_2OH$ ,  $CH(CH_3)COOCH_3$  or  $CH_2CH(OH)CH_2CH_3$ , a

pharmaceutically acceptable salt or a solvate thereof.

- 5. The compound according to claim 1, wherein R<sup>4</sup> is optionally substituted carboxy, optionally substituted carbamoyl (provided that substituent on amino may form an optionally-substituted N-atom containing heterocyclic ring together with an adjacent N atom), optionally substituted formylamino, optionally substituted alkyl, optionally substituted alkenyl or optionally substituted heteroaryl, a pharmaceutically acceptable salt or a solvate thereof.
- 6. The compound according to claim 1, wherein in R<sup>4</sup>, a substituent for "optionally substituted carboxy" is lower alkyl, hydroxy lower alkyl, lower alkoxy lower alkyl, optionally substituted amino lower alkyl, or optionally substituted heterocyclic group;

a substituent for "optionally substituted formylamino" is lower alkyl, hydroxy lower alkyl, lower alkoxy lower alkyl, optionally substituted carbamoyl lower alkyl, optionally substituted lower alkoxy, optionally substituted amino, or optionally substituted carbamoyl;

a substituent for "optionally substituted carbamoyl" is lower alkyl, optionally substituted lower alkyl (substituent: hydroxy, lower alkoxy, halogen, cyao, optionally substituted amino, optionally substituted lower alkoxy, carbamoyl or aryloxy), cycloalkyl, cycloalkyl lower alkyl, optionally substituted heterocyclic group, optionally substituted heterocyclic group lower alkyl, optionally substituted aryl, optionally substituted aryloxy lower alkyl, optionally substituted aralkyl, optionally substituted carbamoyl lower alkyl, optionally substituted lower alkoxy, optionally substituted amino, optionally substituted alkenyl, or optionally substituted alkynyl;

a substituent for "optionally substituted amino" is lower alkyl, hydroxy lower alkyl, lower alkoxy lower alkyl, optionally substituted heterocyclic group, or optionally substituted carbamoyl lower alkyl; a substituent for "optionally substituted alkyl" or "optionally substituted alkenyl" is hydroxy, halogen, optionally substituted heterocyclic group, optionally substituted lower alkoxy, optionally substituted amino, optionally substituted carbamoyl, or optionally substituted carboxy;

a substituent for "optionally substituted aryl" or "optionally substituted heteroaryl" is hydroxy, lower alkyl, hydroxy lower alkyl, lower alkoxy lower alkyl, optionally substituted aminoalkyl, optionally substituted carbamoyl lower alkyl, optionally substituted lower alkoxy, optionally substituted amino, optionally substituted carbamoyl, optionally substituted alkenyl, optionally substituted carboxy, optionally substituted carboxyalkyl, optionally substituted salfamoyl, or optionally substituted salfamoylalkyl (provided that a substituent on amino in "optionally substituted formylamino", "optionally substituted amino" or "optionally substituted carbamoyl" may form an optionally- substituted N-atom containing heterocyclic ring together with an adjacent N atom), a prodrug, a pharmaceutically acceptable salt or a solvate thereof.

7. The compound according to claim 1, wherein  $\mathbb{R}^4$  is a group shown below, a pharmaceutically acceptable salt or a solvate thereof.

[Formula 2]

H.N OME HN OME HN NMe<sub>2</sub>  $O \downarrow_{N}$ .Me  $O \downarrow_{N}$ OMe  $O \downarrow_{N}$ OMe OHNOH ON NMe2 ON NMe2 ON NMe2 ON ME 

(wherein, Me is methyl; Ac is acetyl; Ms is methanesulfonyl)

- 8. The compound according to claim 1, wherein  $R^1$  is p-fluorobenzyl and  $R^4$  is a group recited in claim 5, a pharmaceutically acceptable salt or a solvate thereof.
- 9. The compound according to claim 1, wherein  $R^1$  is p-fluorobenzyl and  $R^4$  is a group described in claim 7, a pharmaceutically acceptable salt or a solvate thereof.
- 10. The compound according to claim 1, wherein  $R^1$  is p-fluorobenzyl;  $R^2$  is hydrogen;  $R^3$  is  $CH_2CH_2OCH_3$ ,  $N(CH_3)_2$ ,  $CH_2CH_2CN$ ,  $CH_2CH_2N(CH_3)_2$ ,  $CH_2CH_2CH_2N(CH_3)_2$ , or  $CH_2CH(OH)CH_2CH_3$ ;  $R^4$  is a group described in claim 7,a pharmaceutically acceptable salt or a solvate thereof.
- 11. A pharmaceutical composition comprising the compound according to any of claims 1 to 10, a pharmaceutically acceptable salt or a solvate thereof.
- 12. A pharmaceutical composition according to claim 11, wherein it is an HIV integrase inhibitor.